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Scientific and Technical Information Center

# SEARCH REQUEST FORM

Requester's Full Name: MARK BERCH Examiner #: 59193 Date: 1/24/06  
Art Unit: 1624 Phone Number: 2-0663 Serial Number: 1079896  
Location (Bldg/Room#): 5C01 (Mailbox #): 5C18 Results Format Preferred (circle): PAPER DISK

\*\*\*\*\*  
To ensure an efficient and quality search, please attach a copy of the cover sheet, claims, and abstract or fill out the following: Also 10798979

Title of Invention: \_\_\_\_\_

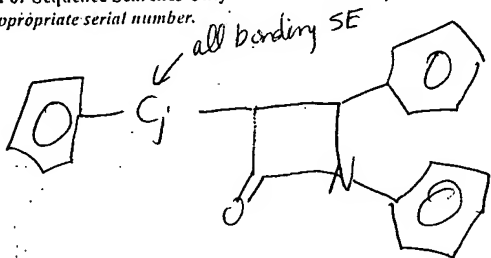
Inventors (please provide full names): \_\_\_\_\_

Earliest Priority Date: \_\_\_\_\_

## Search Topic:

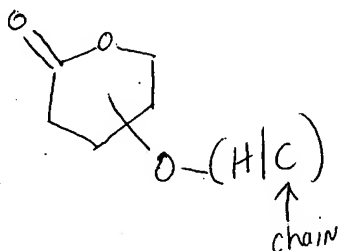
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc., if known.

\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.



J = 0-20

Compound must have this fragment:



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Searcher: \_\_\_\_\_

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Date Completed: \_\_\_\_\_

Searcher Prep & Review Time: \_\_\_\_\_

Online Time: \_\_\_\_\_

## Type of Search

\_\_\_\_ NA Sequence (#)

\_\_\_\_ AA Sequence (#)

\_\_\_\_ Structure (#)

\_\_\_\_ Bibliographic

\_\_\_\_ Litigation

\_\_\_\_ Fulltext

\_\_\_\_ Other

## Vendors and cost where applicable

\_\_\_\_ STN \_\_\_\_\_ Dialog

\_\_\_\_ Questel/Orbit \_\_\_\_\_ Lexis/Nexis

\_\_\_\_ Westlaw \_\_\_\_\_ WWW/Internet

\_\_\_\_ In-house sequence systems

\_\_\_\_ Commercial \_\_\_\_\_ Oligomer \_\_\_\_\_ Score/Length

\_\_\_\_ Interference \_\_\_\_\_ SPDI \_\_\_\_\_ Encode/Transl

\_\_\_\_ Other (specify)

10 791 979

=> d his full

(FILE 'HOME' ENTERED AT 11:31:54 ON 27 JAN 2006)

L1 FILE 'LREGISTRY' ENTERED AT 11:34:55 ON 27 JAN 2006  
STRUCTURE

L2 FILE 'REGISTRY' ENTERED AT 11:50:12 ON 27 JAN 2006  
L3 0 SEA SSS SAM L1  
9 SEA SSS FUL L1

L4 FILE 'HCAPLUS' ENTERED AT 11:51:17 ON 27 JAN 2006  
2 SEA ABB=ON PLU=ON L3

L5 FILE 'BEILSTEIN' ENTERED AT 11:51:33 ON 27 JAN 2006  
L6 0 SEA SSS SAM L1  
0 SEA SSS FUL L1

L7 FILE 'MARPAT' ENTERED AT 11:52:08 ON 27 JAN 2006  
L8 0 SEA SSS SAM L1  
L9 2 SEA SSS FUL L1  
0 SEA ABB=ON PLU=ON L8 NOT L4

FILE 'CAOLD' ENTERED AT 11:52:45 ON 27 JAN 2006  
S L1

L10 FILE 'REGISTRY' ENTERED AT 11:52:50 ON 27 JAN 2006  
0 SEA SSS SAM L1

L11 FILE 'CAOLD' ENTERED AT 11:52:52 ON 27 JAN 2006  
L12 0 SEA ABB=ON PLU=ON L10  
0 SEA ABB=ON PLU=ON L3

FILE HOME

FILE LREGISTRY  
LREGISTRY IS A STATIC LEARNING FILE

NEW CAS INFORMATION USE POLICIES, ENTER HELP USAGETERMS FOR DETAILS.

FILE REGISTRY  
Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 25 JAN 2006 HIGHEST RN 872674-04-9  
DICTIONARY FILE UPDATES: 25 JAN 2006 HIGHEST RN 872674-04-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

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\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*

\* effective March 20, 2005. A new display format, IDERL, is now \*  
\* available and contains the CA role and document type information. \*  
\* \*  
\*\*\*\*\*

Structure search iteration limits have been increased. See HELP SLIMITS  
for details.

REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

#### FILE HCAPLUS

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FILE COVERS 1907 - 27 Jan 2006 VOL 144 ISS 6  
FILE LAST UPDATED: 26 Jan 2006 (20060126/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate  
substance identification.

#### FILE BEILSTEIN

FILE LAST UPDATED ON JANUARY 17, 2006

FILE COVERS 1771 TO 2005.

**FILE CONTAINS 9,428,406 SUBSTANCES**

>>>PLEASE NOTE: Reaction Data and substance data are stored in  
separate documents and can not be searched together in one query.  
Reaction data for BEILSTEIN compounds may be displayed  
immediately with the display codes PRE (preparations) and REA  
(reactions). A substance answer set retrieved after the search  
for a chemical name, a compounds with available reaction  
information by combining with PRE/FA, REA/FA or more generally  
with RX/FA. The BEILSTEIN Registry Number (BRN) is the link  
between a BEILSTEIN compound and belonging reactions. For mo  
detailed reaction searches BRNs can be searched as reaction  
partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

\*\*\*\*\*  
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NEW

- \* PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE SEARCHED, SELECTED AND TRANSFERRED.
- \* NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES, ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A COMPOUND AT A GLANCE.

FILE MARPAT

FILE CONTENT: 1969-PRESENT (VOL 144 ISS 4 (20060120/ED)

SOME MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1969-1987

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6958359 25 OCT 2005  
DE 1020040544 27 OCT 2005  
EP 1589024 26 OCT 2005  
JP 2005320486 27 OCT 2005  
WO 2005110983 24 NOV 2005

Expanded G-group definition display now available.

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FILE CAOLD

FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> file hcaplus

FILE 'HCAPLUS' ENTERED AT 11:53:21 ON 27 JAN 2006

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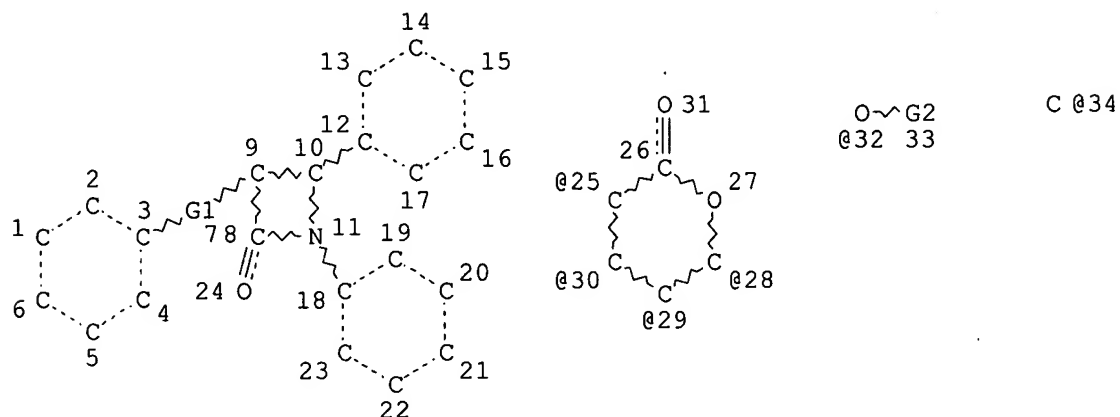
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FILE COVERS 1907 - 27 Jan 2006 VOL 144 ISS 6  
FILE LAST UPDATED: 26 Jan 2006 (20060126/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que stat 14  
L1 STR



REP G1=(0-20) C  
VAR G2=H/34  
VPA 32-25/30/29/28 U  
NODE ATTRIBUTES:  
NSPEC IS C AT 34  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RSPEC 26 18 3 12  
NUMBER OF NODES IS 34

STEREO ATTRIBUTES: NONE  
L3 9 SEA FILE=REGISTRY SSS FUL L1  
L4 2 SEA FILE=HCAPLUS ABB=ON PLU=ON L3

=> d 14 1-2 ibib abs hitstr

L4 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 2004:759822 HCAPLUS  
DOCUMENT NUMBER: 141:260450  
TITLE: Processes for preparation of substituted azetidinone compounds, formulations containing them and uses thereof  
INVENTOR(S): Burnett, Duane A.; Clader, John W.  
PATENT ASSIGNEE(S): Schering Corporation, USA  
SOURCE: U.S. Pat. Appl. Publ., 30 pp.  
CODEN: USXXCO

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004180861	A1	20040916	US 2004-792346	20040303
CA 2517572	AA	20040923	CA 2004-2517572	20040303
WO 2004081003	A1	20040923	WO 2004-US6428	20040303
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1601669	A1	20051207	EP 2004-716913	20040303
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
PRIORITY APPLN. INFO.:			US 2003-452725P	P 20030307
			WO 2004-US6428	W 20040303
OTHER SOURCE(S):		MARPAT 141:260450		
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The present invention provides substituted azetidinone compds. I [X1 = Xm; X2 = Cq; X3 = Yn; X4 = Cr; X5 = Zp; X, Y, Z = CH2, CH-alkyl, C(Alkyl)2; Q1, Q2 = H, (C0-30-alkylene)-G, OR6, O2CR6, OCO2R9, O2CNR6R7, L-M; Q3 = 1 - 5 substituents, selected from alkyl, alkenyl, alkynyl, (C0-30-alkylene)-G, (C0-10-alkylene)-OR6, (C0-10-alkylene)-C(:O)R6, (C0-10-alkylene)-CO2R6, (C0-10-alkylene)O2CR6, CH:CHCOR6, CH:CHCO2R6, C.tplbond.CO2R6, C.tplbond.CC(:O)R6, etc.; Q4 = ; Q5 = ; G = sugar, oligo sugar, amino sugar, amino acid, oligopeptide (2 - 9 residues), trialkylammoniumalkyl, SO3H; L = OC(:O)C6H4C(:O)-4, OCO(:O)(CH2)x1C(:O), (CH2)x2C(:O), O(CH2)x3C(:O), OSiMe2(CH2)x4C(:O), OSiMe2(CH2)x5OC(:O), etc.; M = statin linked through O (atorvastatin, simvastatin); R2, R3 = H, alkyl, aryl; R6, R7, R8 = H, alkyl, aryl, aralkyl; R9 = alkyl, aryl, aralkyl; R10 = H, alkyl; q = 0, 1; r = 0, 1; m, n, p = 0 - 4 (with the proviso that, at least one of q and r = 1, and the sum of m + n + p + q + r = 1 - 6; with the proviso that when p = 0, r = 1 and the sum of m + q + n = 1 - 5); x1 - x11 = 1 - 10; with the proviso that at least one of Q1 - Q5 = L-M, mono-, di-, tri-, tetrasugar, sugar acid, amino sugar, amino acid, etc. ], formulations and processes for preparing the same which can be useful for treating vascular conditions such as atherosclerosis or hypercholesterolemia, diabetes, obesity, stroke, demyelination and lowering plasma levels of sterols and/or stanols in a subject. Thus, azetidinone conjugate II can be prepared from ezetimibe acetate (III) via acylation with glutaric anhydride and esterification with simvastatin (IV).

IT 756821-84-8P 756821-86-0P 756821-90-6P

756821-92-8P 756821-93-9P 756821-94-0P

756821-95-1P 756821-96-2P

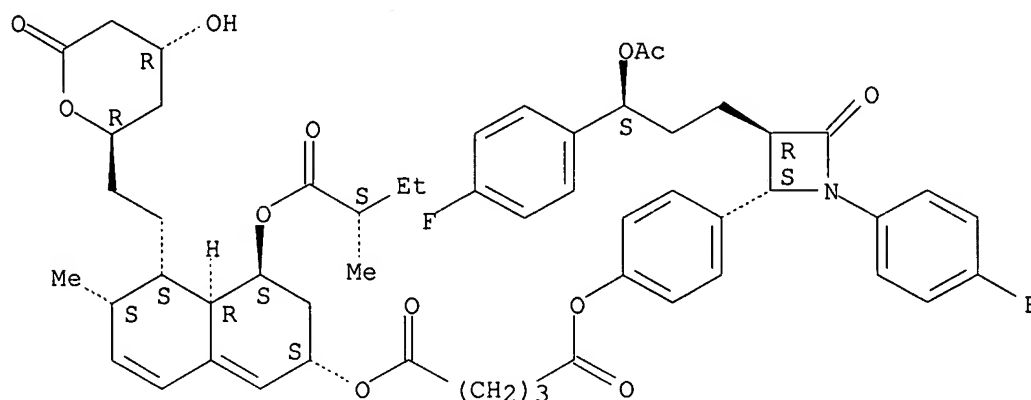
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted azetidinone compds. useful for treating vascular conditions)

RN 756821-84-8 HCAPLUS

CN Pentanedioic acid, 4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidiny]phenyl (2S,4S,4aR,5S,6S)-2,3,4,4a,5,6-hexahydro-6-methyl-4-[(2S)-2-methyl-1-oxobutoxy]-5-[2-[(2R,4R)-tetrahydro-4-hydroxy-6-oxo-2H-pyran-2-yl]ethyl]-2-naphthalenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

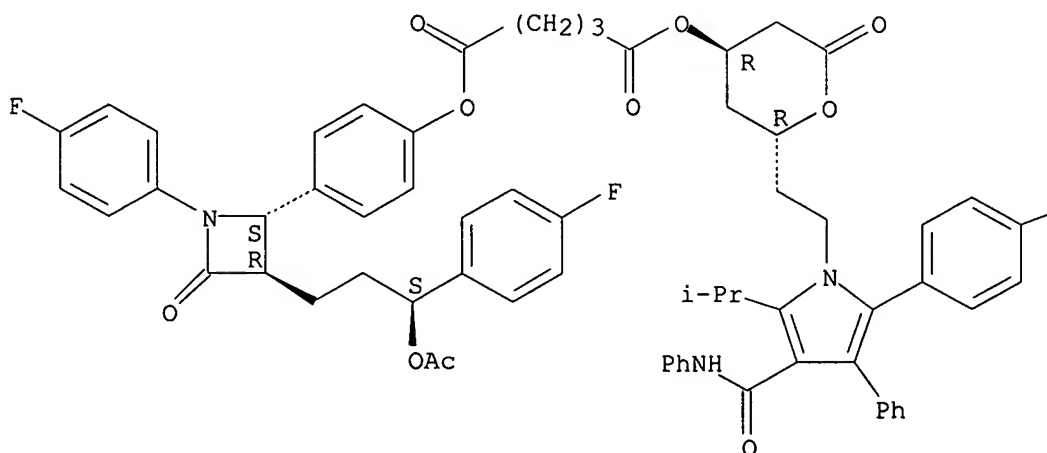


RN 756821-86-0 HCAPLUS

CN Pentanedioic acid, 4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidiny]phenyl (2R,4R)-2-[2-[2-(4-fluorophenyl)-5-(1-methylethyl)-3-phenyl-4-[(phenylamino)carbonyl]-1H-pyrrol-1-yl]ethyl]tetrahydro-6-oxo-2H-pyran-4-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

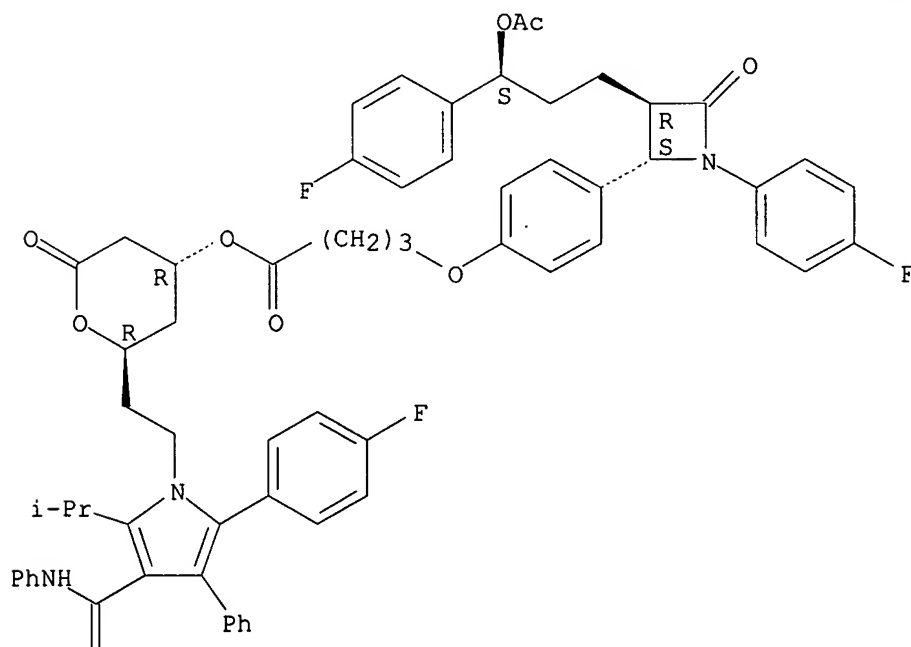
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RN 756821-90-6 HCAPLUS

CN Butanoic acid, 4-[4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidiny]phenoxy]-, (2R,4R)-2-[2-[2-(4-fluorophenyl)-5-(1-methylethyl)-3-phenyl-4-[(phenylamino)carbonyl]-1H-pyrrol-1-yl]ethyl]tetrahydro-6-oxo-2H-pyran-4-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A



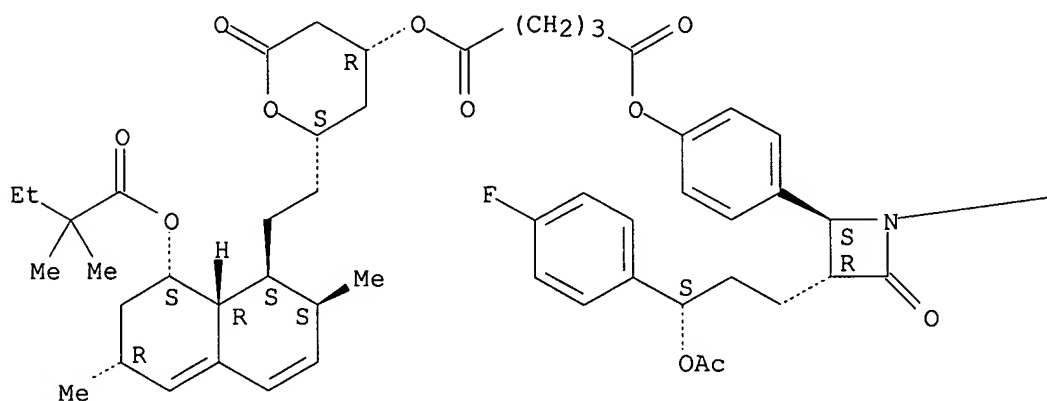
RN 756821-92-8 HCAPLUS



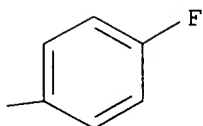
CN Pentanedioic acid, 4-[(2S,3R)-3-[(3S)-3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidiny]phenyl (2S,4R)-2-[2-[(1S,2S,6R,8S,8aR)-8-(2,2-dimethyl-1-oxobutoxy)-1,2,6,7,8,8a-hexahydro-2,6-dimethyl-1-naphthalenyl]ethyl]tetrahydro-6-oxo-2H-pyran-4-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

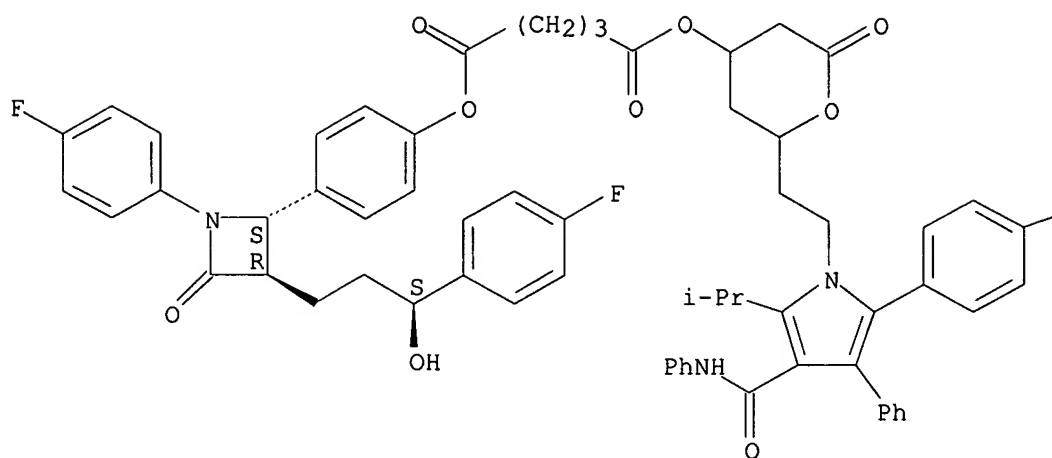


RN 756821-93-9 HCAPLUS

CN Pentanedioic acid, 4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidiny]phenyl 2-[2-[2-(4-fluorophenyl)-5-(1-methylethyl)-3-phenyl-4-[(phenylamino)carbonyl]-1H-pyrrol-1-yl]ethyl]tetrahydro-6-oxo-2H-pyran-4-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



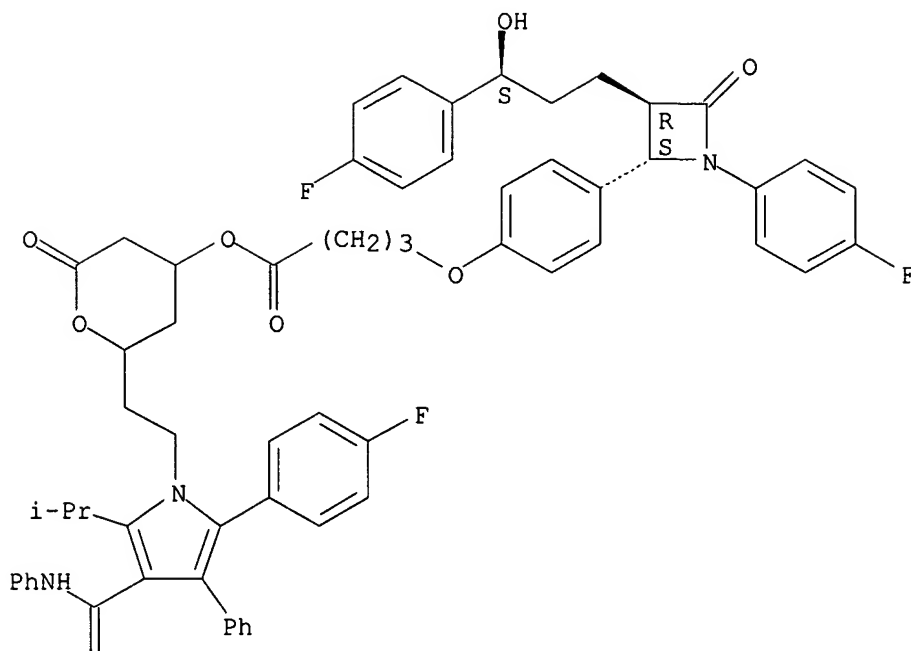
PAGE 1-B

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RN 756821-94-0 HCAPLUS  
 CN Butanoic acid, 4-[4-[(2S,3R)-1-(4-fluorophenyl)-3-[(3S)-3-(4-fluorophenyl)-3-hydroxypropyl]-4-oxo-2-azetidinyl]phenoxy]-, 2-[2-[2-(4-fluorophenyl)-5-(1-methylethyl)-3-phenyl-4-[(phenylamino)carbonyl]-1H-pyrrol-1-yl]ethyl]tetrahydro-6-oxo-2H-pyran-4-yl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

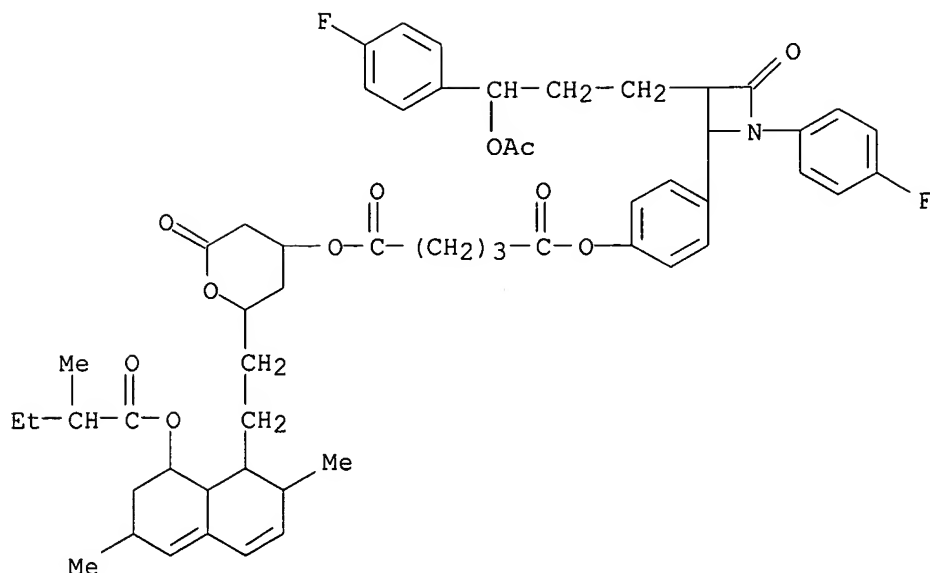
PAGE 1-A



PAGE 2-A

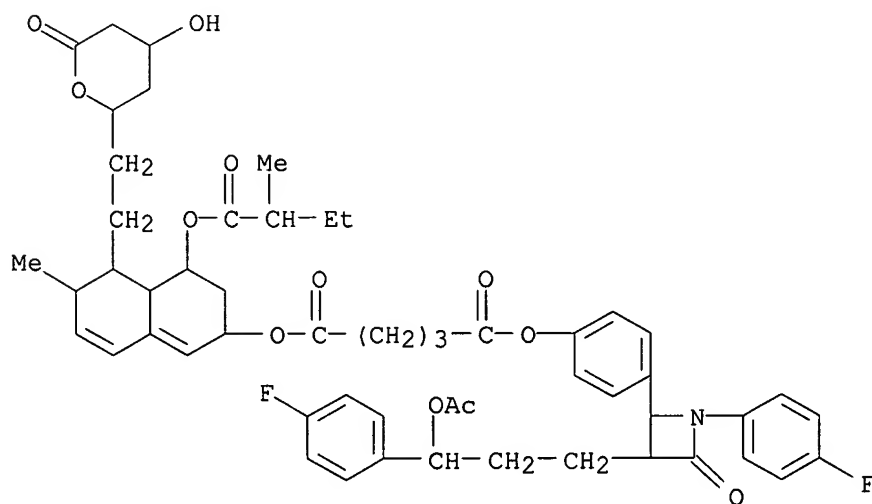


RN 756821-95-1 HCAPLUS  
 CN Pentanedioic acid, 4-[3-[3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidinyl]phenyl 2-[2-[1,2,6,7,8,8a-hexahydro-2,6-dimethyl-8-(2-methyl-1-oxobutoxy)-1-naphthalenyl]ethyl]tetrahydro-6-oxo-2H-pyran-4-yl ester (9CI) (CA INDEX NAME)



RN 756821-96-2 HCAPLUS

CN Pentanedioic acid, 4-[3-[3-(acetyloxy)-3-(4-fluorophenyl)propyl]-1-(4-fluorophenyl)-4-oxo-2-azetidiny]phenyl 2,3,4,4a,5,6-hexahydro-6-methyl-4-(2-methyl-1-oxobutoxy)-5-[2-(tetrahydro-4-hydroxy-6-oxo-2H-pyran-2-yl)ethyl]-2-naphthalenyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:759821 HCAPLUS

DOCUMENT NUMBER: 141:254573

TITLE: Substituted azetidinone compounds, processes for preparing the same, formulations and uses thereof

INVENTOR(S): Burnett, Duane A.; Clader, John W.

PATENT ASSIGNEE(S): Schering Corporation, USA

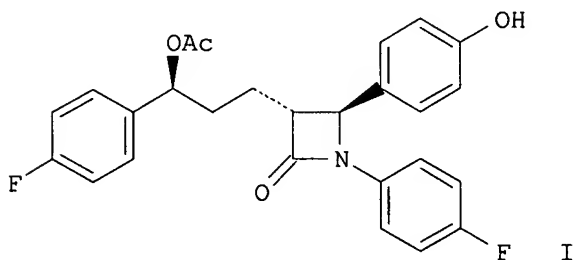
SOURCE: U.S. Pat. Appl. Publ., 35 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004180860	A1	20040916	US 2004-791979	20040303
CA 2517573	AA	20040923	CA 2004-2517573	20040303
WO 2004081004	A1	20040923	WO 2004-US6555	20040303
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1606287	A1	20051221	EP 2004-716968	20040303
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
PRIORITY APPLN. INFO.:			US 2003-452722P	P 20030307
			WO 2004-US6555	W 20040303

OTHER SOURCE(S): MARPAT 141:254573  
 GI



AB This invention provides for pharmaceutical formulations and processes for preparing substituted azetidinone compds. of the general form G-L-M [G = azetidinone moiety, such as I; L = linking group, such as -OCO(CH<sub>2</sub>)<sub>2</sub>NH-; M = pharmaceutically active moiety, such as simvastatin], which can be useful for treating vascular conditions such as atherosclerosis or hypercholesterolemia, diabetes, obesity, stroke, demyelination, lowering plasma levels of sterols, stanols and/or cholesterol and regulating levels of amyloid  $\beta$  peptides or treating Alzheimer's disease. A hypothetical in vivo evaluation of hypercholesterolemic activity using Golden Syrian hamster was presented.

IT 756879-00-2DP, analogs

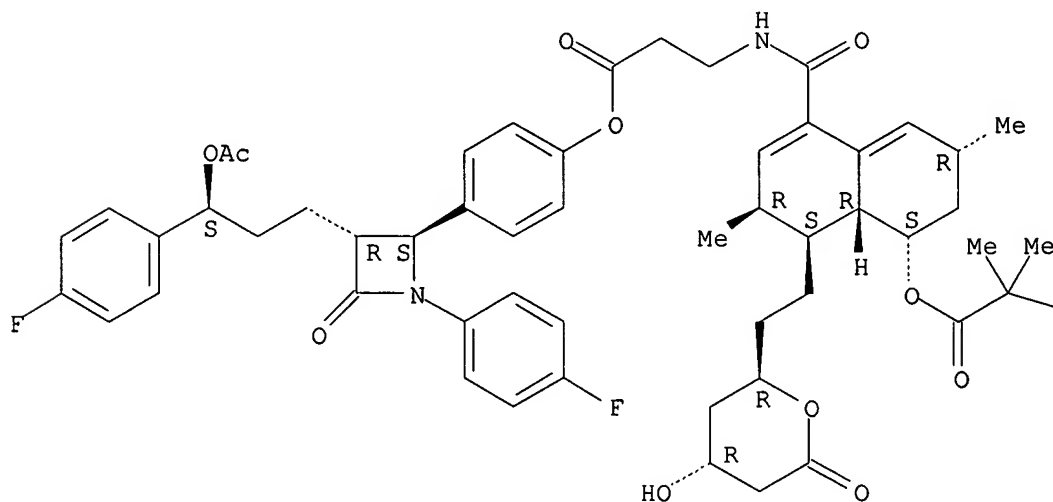
RL: PAC (Pharmacological activity); PNU (Preparation, unclassified); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(azetidinones for use in pharmaceutical compns. for treatment of vascular diseases)

RN 756879-00-2 HCAPLUS

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

$$-\text{Et}$$